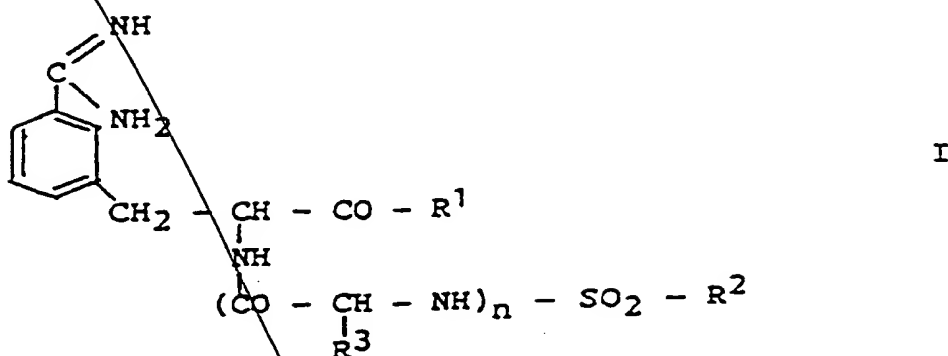


Claims

1. The use of compounds of the formula I



which are present as racemates and also as D- or L-configured compounds and in which

R^1 (a) is OH or OR^4 , where R^4 is unsubstituted or substituted, branched or unbranched C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl or aralkyl,

(b) represents a group of the formula $\text{-N} \begin{matrix} \text{R}^5 \\ \text{R}^6 \end{matrix}$

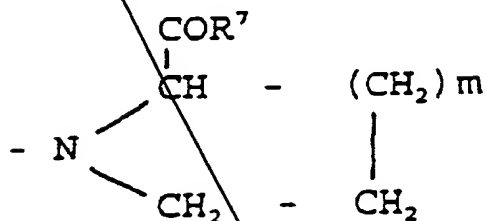
in which R^5 and R^6 are any radicals, where in particular

- (i) R^5 and R^6 are H,
- (ii) R^5 is H and R^6 is unsubstituted or substituted, branched or unbranched C_1 - C_8 -alkyl, aralkyl or C_5 - C_8 -cycloalkyl,
- (iii) R^5 and R^6 are in each case independently unsubstituted or substituted, branched or unbranched C_1 - C_4 -alkyl or
- (iv) R^5 is H and R^6 is $-\text{NH}_2$ or is, in particular, an aryl-substituted or heteroaryl-substituted amino group,
- (v) R^5 is H or unsubstituted or

substituted, branched or unbranched C₁-C₄-alkyl or R⁶ is an amino acid residue, a peptide residue or a polypeptide residue,

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(c) represents a group of the formula

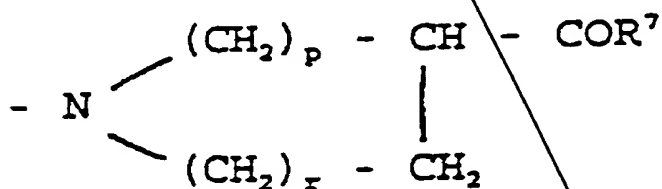


in which m is the number 1 or 2 and in which one or more of the methylene groups are unsubstituted or substituted, with the group (c) being racemic or in D or L configuration, and R⁷ has the meaning of R¹ in subsections (a), (b) and (f),

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(d) represents a group of the formula



in which p = r = 1, p = 1 and r = 2 or p = 2 and r = 1 and in which one or more of the methylene groups are unsubstituted or substituted and R⁷ has the meaning of R¹ in subsections (a), (b) and (f),

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(e) represents a piperidyl group which is unsubstituted or substituted in one of positions 2, 3 or 4,

where a further aromatic or

cycloaliphatic ring is optionally fused to the heterocycloaliphatic rings of the formulae (c), (d) and (e) in the 2,3 position or the 3,4 position relative to the heteroatom,

(f) represents a group of the formula



in which R^8 is

- (i) unsubstituted or substituted $\text{C}_1\text{-C}_6$ -alkyl or aryl,
- (ii) saturated or unsaturated, unbranched or branched $\text{C}_1\text{-C}_6$ -alkoxy or
- (iii) unsubstituted or substituted phenoxy or benzyloxycarbonyl,

(g) represents an acyl radical of the formula $-\text{COX}$, where X is

- (i) H, unsubstituted or substituted, unbranched or branched alkyl
- (ii) unsubstituted or substituted aryl or heteroaryl, or
- (iii) unsubstituted or substituted cycloalkyl,

(h) represents aralkyl in which the aromatic radical is unsubstituted or substituted,

(i) represents a carboxamide radical of the formula $-\text{CONR}'\text{R}''$, a thiocarboxamide radical, $-\text{CSNR}'\text{R}''$ or an acetamide radical $-\text{CH}_2\text{-CONR}'\text{R}''$ where

- (i) R' and R'' are H,

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- (ii) R' and R" are in each case independently C₁-C₄-alkyl,
(iii) R' is H and R" is C₁-C₄-alkyl,
(iv) R' is H and R" is aryl, or
(v) R' and R" constitute together with the nitrogen atom a heterocycloaliphatic ring having 5-7 ring members and possibly having a further heteroatom,
- (j) represents SO₂-Y where Y is
(i) unsubstituted or substituted C₁-C₈-alkyl,
(ii) unsubstituted or substituted aryl or heteroaryl or O-aryl or O-heteroaryl or
(iii) -NR'R", where R' and R" are in each case independently H or C₁-C₃-alkyl,
- (k) represents a cycloaliphatic unsubstituted or substituted ring having from 5 to 8 carbon atoms,
- (l) represents an unsubstituted or substituted heteroaryl or heterocycloaliphatic radical,
- (m) represents a functionalized alkyl radical of the formula -(CH₂)_n-X, where the alkyl chain is unbranched or branched, n = 1 to 8, and the functional radical X
(i) represents a hydroxyl group whose hydrogen atom is unsubstituted or substituted by C₁-C₄-alkyl-, aralkyl-, e.g. benzyl or phenylethyl, aryl, C₁-C₄-hydroxyalkyl or acyl group CO-alkyl (C₁-C₆),
(ii) is a halogen atom

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(iii) represents a tertiary amino group of the formula $-N(alk)_2$, where the alkyl groups have 1 to 3 carbon atoms and the nitrogen atom may belong to a heterocycloaliphatic ring having 5-7 ring members and possibly having a further heteroatom, S,

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10 R^2 represents unsubstituted or substituted phenyl,

R^3 is H or branched or unbranched C_1-C_4 -alkyl, and n is 0 or 1,

15 or of salts of said compounds for preparing an agent for the diagnosis, therapy and prevention of urokinase-associated or urokinase receptor-associated disorders.

20 2. The use as claimed in claim 1, characterized in that R^1 is a group of the formulae (b), (d) and (f), R^2 represents 2,4,6 triisopropylphenyl, and $n = 0$.

25 A 3. The use as claimed in claim 1 ~~or 2~~, characterized in that the compound of the formula I is $N\alpha$ -(2,4,6-triisopropylphenylsulfonyl)-3-amidino-(D,L)-phenylalanine 4-ethoxycarbonylpiperazide, is the L enantiomer or a pharmaceutically suitable salt of one of the compounds.

30 A 4. The use as claimed in ~~any of claims 1 to 3~~,
35 characterized in that the compounds are present in the form of physiologically acceptable acid salts, in particular as hydrochlorides.

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claim 1

A 5. The use as claimed in any of ~~claims 1 to 4~~ *claim 1* for controlling tumors.

5 6. The use as claimed in claim 5 for controlling breast carcinomas, pancreatic carcinomas and the formation of metastases.

A 7. The use as claimed in any of ~~claims 1 to 4~~ *claim 1* for controlling pemphigus vulgaris.

10 *A* 8. The use as claimed in any of ~~claims 1 to 7~~ *claim 1* characterized in that
the compounds of the formula I are used coupled with further pharmacologically active substances.

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9. The use as claimed in claim 8, characterized in that the compounds are used coupled with radiolabels or with cytotoxic substances.

20 10. The use of compounds of the formula II
$$X-R^2$$

where

25 X represents any radical and
 R^2 represents unsubstituted or substituted phenyl, or of salts of said compounds for preparing an agent for targeting lymphocytes.

Per B430
11. The use as claimed in claim 10, characterized in that R^2 is 2,4,6 trisubstituted phenyl, in particular 2,4,6 triisopropyl.

A 12. The use as claimed in claim 10 ~~or 11~~ for diagnosing and treating disorders of the lymphatic tissue.

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A 13. The use as claimed in any of ~~claims 10 to 12~~ *claim 10* for controlling lymphomas and the formation of metastases.

Claim 1

- A 14. The use as claimed in ~~any of claims 1 to 13~~ for preparing medicaments which are administrable orally, topically, rectally or parenterally.

Claim 1

- 5 A 15. The use as ~~claimed in any of claims 1 to 14~~ in the form of ~~tablets~~, coated tablets, capsules, pellets, suppositories, solutions or transdermal systems such as plasters.

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16. A method for inhibiting urokinase in living creatures, in particular in humans, by administering an effective quantity of at least one urokinase inhibitor as claimed in ~~any of claims 1 to 4~~. Claim 2
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17. A method for targeting the lymphatic tissue in living creatures, in particular in humans, by administering an effective quantity of at least one compound as claimed in claim 10 ~~or 11~~.

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18. $\text{Na}(2,4,6\text{-Triisopropylphenylsulfonyl})\text{-3-amidino-}$
(D,L)-phenylalanine 4-ethoxycarbonylpiperazide,
the L enantiomer thereof or a pharmaceutically
suitable salt of one of the compounds.

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add
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add
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